10/646266

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PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS 1
                "Ask CAS" for self-help around the clock
NEWS 2
        SEP 01 New pricing for the Save Answers for SciFinder Wizard within
NEWS 3
                STN Express with Discover!
NEWS 4 OCT 28 KOREAPAT now available on STN
NEWS 5 NOV 30 PHAR reloaded with additional data
NEWS 6 DEC 01 LISA now available on STN
NEWS 7 DEC 09 12 databases to be removed from STN on December 31, 2004
NEWS 8 DEC 15 MEDLINE update schedule for December 2004
NEWS 9 DEC 17 ELCOM reloaded; updating to resume; current-awareness
                alerts (SDIs) affected
     10 DEC 17 COMPUAB reloaded; updating to resume; current-awareness
NEWS
                alerts (SDIs) affected
     11 DEC 17 SOLIDSTATE reloaded; updating to resume; current-awareness
NEWS
                 alerts (SDIs) affected
     12 DEC 17 CERAB reloaded; updating to resume; current-awareness
NEWS
                alerts (SDIs) affected
NEWS 13 DEC 17 THREE NEW FIELDS ADDED TO IFIPAT/IFIUDB/IFICDB
NEWS 14 DEC 30 EPFULL: New patent full text database to be available on STN
NEWS 15 DEC 30 CAPLUS - PATENT COVERAGE EXPANDED
NEWS 16 JAN 03 No connect-hour charges in EPFULL during January and
                February 2005
NEWS 17 JAN 26 CA/CAPLUS - Expanded patent coverage to include the Russian
                Agency for Patents and Trademarks (ROSPATENT)
     18 FEB 10 STN Patent Forums to be held in March 2005
NEWS
NEWS EXPRESS JANUARY 10 CURRENT WINDOWS VERSION IS V7.01a, CURRENT
             MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
             AND CURRENT DISCOVER FILE IS DATED 10 JANUARY 2005
NEWS HOURS
             STN Operating Hours Plus Help Desk Availability
             General Internet Information
NEWS INTER
NEWS LOGIN
             Welcome Banner and News Items
             Direct Dial and Telecommunication Network Access to STN
NEWS PHONE
             CAS World Wide Web Site (general information)
NEWS WWW
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FILE 'HOME' ENTERED AT 16:17:39 ON 10 FEB 2005

=> file registry COST IN U.S. DOLLARS

SINCE FILE TOTAL
ENTRY SESSION
0.21
0.21

FULL ESTIMATED COST

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STRUCTURE FILE UPDATES: 9 FEB 2005 HIGHEST RN 828241-21-0 DICTIONARY FILE UPDATES: 9 FEB 2005 HIGHEST RN 828241-21-0

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

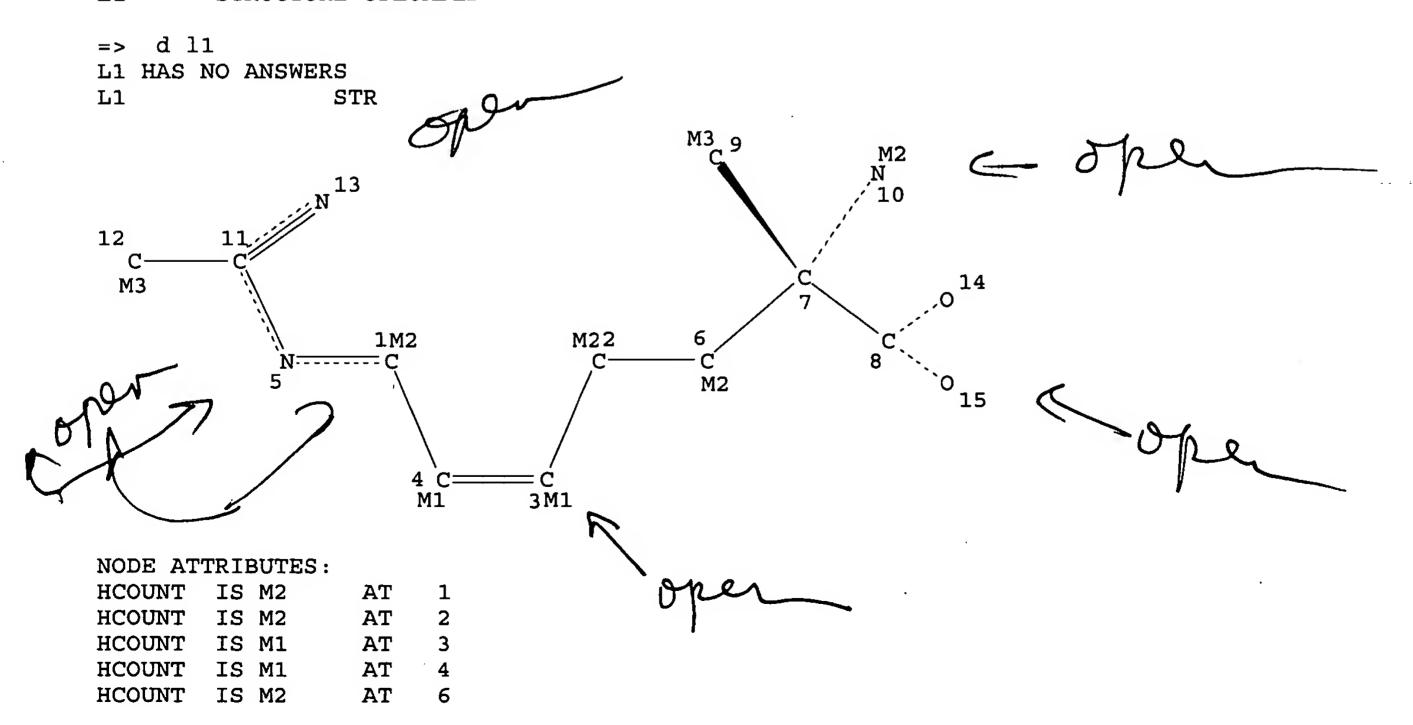
Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

Uploading C:\STNEXP4\QUERIES\02102005.str

### L1 STRUCTURE UPLOADED



IS M3 9 HCOUNT AΤ IS M2 AT HCOUNT 10 **HCOUNT** IS M3 AT12 NSPEC IS C AT 1 IS C NSPEC ATNSPEC IS C AT **NSPEC** IS C AT **NSPEC** IS C AT **NSPEC** IS C AΤ NSPEC IS C AT **NSPEC** IS C AT IS C NSPEC AT IS C NSPEC AT10 NSPEC IS C AT 11 NSPEC IS C AT 12 IS C NSPEC AT 13 IS C **NSPEC** AT 14 IS C **NSPEC** 15 AT DEFAULT MLEVEL IS ATOM

MLEVEL IS CLASS AT 1 2 3 4 5 6 7 8 9 10 11 12 13 14 15

DEFAULT ECLEVEL IS LIMITED

### **GRAPH ATTRIBUTES:**

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 15

#### STEREO ATTRIBUTES:

STEREO DEFAULT RELATIVE

NUMBER OF CHIRAL CENTERS IS 1

SS1 REL 7

### => s l1 full

FULL SEARCH INITIATED 16:18:19 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 520 TO ITERATE

100.0% PROCESSED 520 ITERATIONS

10 ANSWERS

SEARCH TIME: 00.00.01

L2 10 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
161.33 161.54

FILE 'CAPLUS' ENTERED AT 16:18:37 ON 10 FEB 2005 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

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10/646266
FILE COVERS 1907 - 10 Feb 2005
                                 VOL 142 ISS 7
FILE LAST UPDATED: 9 Feb 2005
                               (20050209/ED)
  This file contains CAS Registry Numbers for easy and accurate
  substance identification.
=> s 12
             9 L2
L3
=> d bib abs hitstr 1-9 13
L3
     ANSWER 1 OF 9 CAPLUS
                             COPYRIGHT 2005 ACS on STN
AN
     2004:780756 CAPLUS
     141:296928
DN
     Exchanger for selectively removing counterions from compounds and
     compounds derived from the methods for pharmaceutical applications
     Moore, Christine June
IN
     Pharmacia Corporation, USA
PA
     PCT Int. Appl., 28 pp.
SO
     CODEN: PIXXD2
DT
     Patent
     English
LA
FAN.CNT 1
     PATENT NO.
                          KIND
                                 DATE
                                             APPLICATION NO.
                                             WO 2004-IB529
PI
     WO 2004081073
                           A2
                                 20040923
     WO 2004081073
                          A3
                                 20041111
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NAH

20040223 AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG US 2004225150 A1 20041111 US 2004-797350 PRAI US 2003-453798P 20030311 P Compds. derived such as S-[2-[(1-iminoethyl)amino]ethyl]-2-methyl-Lcysteine (I) zwitterion with 0-2 molar equivalents of hydrochloride are also disclosed. The chloride removal process was run in batch, but it

cysteine (I) zwitterion with 0-2 molar equivalents of hydrochloride are also disclosed. The chloride removal process was run in batch, but it could easily be run in a plant setting by recirculating the I dihydrochloride solution over an anion exchange resin column or an anion exchange membrane such as Amberlite 400. If the pH is inadvertently raised beyond the desired range, it may easily be adjusted back by adding an appropriate amount of HCl.

IT 404385-39-3

RL: PEP (Physical, engineering or chemical process); PYP (Physical process); PROC (Process)

(exchanger for selectively removing counterions from amino acid compds. suitable for pharmaceutical applications)

RN 404385-39-3 CAPLUS

CN 5-Heptenoic acid, 2-amino-7-[(1-iminoethyl)amino]-2-methyl-, dihydrochloride, (2S,5Z)- (9CI) (CA INDEX NAME)

Me 
$$\frac{NH}{H}$$
  $\frac{Z}{S}$   $\frac{NH_2}{CO_2H}$ 

●2 HCl

```
ANSWER 2 OF 9 CAPLUS
                            COPYRIGHT 2005 ACS on STN
L3
AN
     2004:182830 CAPLUS
DN
     140:223311
     Crystalline solid form of (2S,5Z)-2-amino-7-(ethanimidoylamino)-2-
TI
     methylhept-5-enoic acid
                                  Davie Iwenfor
IN
     Hallinan, Ann E.
     Pharmacia Corporation, USA
PA
SO
     PCT Int. Appl., 34 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
     PATENT NO.
                         KIND
                                DATE
                                            APPLICATION NO.
                                            WO 2003-US26347
PI
                          A1
     WO 2004018412
                                20040304
                                                                    20030822
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             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
             PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
             TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     US 2004132822
                          A1
                                20040708
                                            US 2003-646266
                                                                    20030822
PRAI US 2002-405526P
                          P
                                20020823
     (25,52)-2-amino-7-(ethanimidoylamino)-2-methylhept-5-enoic acid (I) is
     crystallized as an anhydrous, stoichiometric 1.5 HCl salt and a scaleable
crystallization _
    method is disclosed. The salt form was characterized and the absolute
     configuration of the chiral center was confirmed as I was high melting and
     appears acceptably nonhygroscopic for use in a pharmaceutical composition
```

Thus, I was prepared in a series of steps starting from 5,5-dihydro-2-pyrone and (Z)-5-tert-butyldimethylsilyloxy-2-penten-1-ol.

404385-91-7P IT

> RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(crystalline solid form of amino(ethanimidoylamino) methylheptenoic acid)

RN404385-91-7 CAPLUS

5-Heptenoic acid, 2-amino-7-[(1-iminoethyl)amino]-2-methyl-, (2S,5Z)-CN (CA INDEX NAME) (9CI)

$$\begin{array}{c|c} & \text{Me} & \text{Me} \\ & \text{NH}_2 \\ & \text{Me} & \text{NH}_2 \\ & \text{Me} & \text{NH}_2 \\ & \text{CO}_2 \text{H}_2 \\ \end{array}$$

IT 404385-39-3P 666748-92-1P 666748-93-2P

RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(crystalline solid form of amino(ethanimidoylamino)methylheptenoic acid)

RN 404385-39-3 CAPLUS

CN 5-Heptenoic acid, 2-amino-7-[(1-iminoethyl)amino]-2-methyl-, dihydrochloride, (2S,5Z)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

Me NH<sub>2</sub> 
$$Z$$
  $CO_2H$ 

# •2 HCl

RN 666748-92-1 CAPLUS

CN 5-Heptenoic acid, 2-amino-7-[(1-iminoethyl)amino]-2-methyl-, hydrochloride, hydrate (2:5:4), (2S,5Z)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

Me NH<sub>2</sub> 
$$Z$$
  $CO_2H$ 

●5/2 HCl

# ●2 H<sub>2</sub>O

RN 666748-93-2 CAPLUS

CN 5-Heptenoic acid, 2-amino-7-[(1-iminoethyl)amino]-2-methyl-, hydrochloride (2:3), (2S,5Z)- (9CI) (CA INDEX NAME)

# ●3/2 HCl

# RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:120714 CAPLUS

DN 140:164231

TI Preparation of 2,7-diamino-5-heptenoic acid derivatives for the treatment and prevention of gastrointestinal conditions

IN Manning, Pamela T.; Connor, Jane R.

PA Pharmacia Corporation, USA

SO PCT Int. Appl., 215 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN. CNT 1

ran.		ENT	NO.			KIND DATE				APPL	ICAT:		DATE					
PI	WO 2004012726						Ī	WO 2	003-1		20030725							
	WO	2004	0127	26		<b>A3</b>		2004	0603									
		W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,
			PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	TJ,	TM,	TN,
			TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW			
		RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
			KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
			FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,
			BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG
	US 2004127569					A1	A1 20040701				US 2	003-		20030725				
PRAI US 2002-400660P						P		2002	0802									

OS MARPAT 140:164231

ABThe invention describes therapeutic methods for the prevention and treatment of conditions and diseases of the gastrointestinal tract involving an overprodn. of nitric oxide by inducible nitric oxide synthase (iNOS) by administering a therapeutically effective amount of a selective inhibitor of iNOS. The methods also include the use of selective inhibitors of iNOs in combination with other therapeutic agents, including antimicrobial agents and antisecretory agents. 2,7-Diamino-5-heptenoic acid derivs. R7N:CMeNHCH2CR1:CR2CH2CH2CH(NH2)C(O)J [R1, R2 = H, halo, alkyl, haloalkyl (at least one of R1 or R2 contains halogen); R7 = H, OH; J = OH, alkoxy, NR3R4, where R3 = H, alkyl, alkenyl, alkynyl and R4 = H, (un) substituted heterocyclyl] or their pharmaceutically-acceptable salts are among the compds. claimed. Thus, (2S,5E)-2-amino-6-fluoro-7-[(1iminoethyl)amino]-5-heptenoic acid dihydrochloride was prepared by a multistep procedure starting from L-glutamic acid and showed IC50 values 0.36, 68, 3.6, and 0.1  $\mu$ M in hiNOS, hecNOS, hncNOS, and human cartilage assays, resp.

IT 404385-53-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)

(preparation of diaminoheptenoic acid derivs. for treatment and prevention of gastrointestinal conditions)

RN 404385-53-1 CAPLUS

CN 5-Heptenoic acid, 2-amino-7-[(1-iminoethyl)amino]-2-methyl-, dihydrochloride, (2R,5Z)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

Me NH 
$$\frac{Z}{R}$$
 CO<sub>2</sub>H

•2 HCl

L3 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:931225 CAPLUS

DN 140:5301

TI Preparation of amino acid derivatives and methods for the treatment of respiratory diseases and conditions using a selective inos inhibitor

IN Manning, Pamela T.

PA Pharmacia Corporation, USA

SO PCT Int. Appl., 221 pp. CODEN: PIXXD2

DT Patent

LA English

FAN	CNT	1																
	PATENT NO.						D	DATE			APPL	ICAT		DATE				
							-											
PI	WO	2003	0971	63		A2		2003	1127	1	WO 2	003-1	US15	369		2	00309	516
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			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,
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			UG,	US,	UZ,	VN,	YU,	ZA,	ZM,	ZW								
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			KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
			FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,
			BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG
	US	2004	0776	39		A1		2004	0422	1	US 2	003-	4396	69		20	00309	516
PRA	I US	2002	-381	054P		P		2002	0516									
os	MA	RPAT	140:	5301										•				
GI																		

+ Compoured

ABCompds. I [A = (un) substituted-iminoalkylaminoalkenyl, -iminoalkylaminoalkynyl, -aminoalkylaminoalkylthioalkyl, etc.; B = OH, alkoxy, etc.; R1 and R2 independently = H, alkyl, alkenyl aryl, etc.] and II [R3 = (un)substituted-alkylthio, -alkyloxy, -alkylcarbocyclylalkyl, -nitrogen heterocycle, etc.; X, Y and Z are independently N or substituted C; U = N or substituted C with provision that U is N only when X is N and Z and Y are substituted C; W = N or CH] as well as their pharmaceutically acceptable salts are prepared and claimed as selective inhibitors of inducible nitric oxide synthase. Thus, e.g., III was prepared in eight steps from L-glutamic acid via intermediate coupling of N-Boc protected Me 5-oxopentanoate (preparation given) with tri-Et 2-fluorophosphonoacetate which was followed by hydrolysis, substitution with 3-methyl-1,2,4-oxadiazolin-5one, acid catalyzed ring cleavage to the iminoethylamine derivative and subsequent deprotection steps. In citrulline assays for human inducible nitric oxide synthesis, I possessed IC50 values of  $0.36-197 \mu M$ . Therapeutic methods for the prevention and treatment of respiratory diseases or conditions are described, the methods including administering to a subject in need thereof a respiratory disease or condition effective amount of a selective inhibitor of inducible nitric oxide synthase.

IT 404385-39-3P 404385-53-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidates; preparation of amino acid derivs. and methods for the treatment of respiratory diseases and conditions using a selective inducible nitric oxide synthase inhibitor)

RN 404385-39-3 CAPLUS

CN 5-Heptenoic acid, 2-amino-7-[(1-iminoethyl)amino]-2-methyl-, dihydrochloride, (2S,5Z)- (9CI) (CA INDEX NAME)

# •2 HCl

RN 404385-53-1 CAPLUS

CN 5-Heptenoic acid, 2-amino-7-[(1-iminoethyl)amino]-2-methyl-, dihydrochloride, (2R,5Z)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

Me NH<sub>2</sub>

$$R$$
 $CO_2H$ 

### •2 HCl

IT 404385-91-7P 505098-89-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

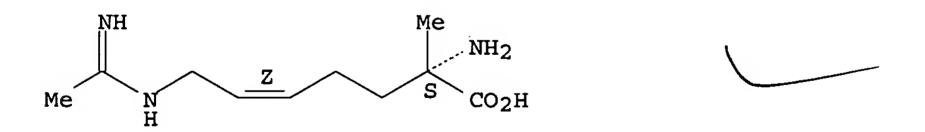
(intermediate; preparation of amino acid derivs. and methods for the treatment of respiratory diseases and conditions using a selective inducible nitric oxide synthase inhibitor)

RN 404385-91-7 CAPLUS

CN 5-Heptenoic acid, 2-amino-7-[(1-iminoethyl)amino]-2-methyl-, (2S,5Z)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



RN 505098-89-5 CAPLUS

CN 5-Heptenoic acid, 2-amino-7-[(1-iminoethyl)amino]-2-methyl-, (2S,5Z)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 404385-91-7 CMF C10 H19 N3 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

```
L3 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
```

AN 2003:931174 CAPLUS

DN 140:16957

TI Preparation of amino acid derivatives in methods for the treatment of respiratory diseases and conditions with a selective iNOS inhibitor and a PDE inhibitor

IN Manning, Pamela T.

PA Pharmacia Corporation, USA

SO PCT Int. Appl., 245 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN. CNT 1

L HIM.	TA T	<u>. I.</u>																
	PATENT NO.						D	DATE			APPL	ICAT		DATE				
						,												
PI	I WO 2003097050				<b>A</b> 2		20031127		1	WO 2	003-1		20030516					
	WO 2003097050		<b>A</b> 3		20040617													
		<b>W</b> :	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
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			UG,	US,	UZ,	VN,	YU,	ZA,	ZM,	ZW								
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			KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
			FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,
			BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG
	US	2004	08769	53		A1		2004	0506	1	US 2	003-		20030516				
PRAI	US	2002	-381	056P		P		2002	0516									
os	OS MARPAT 140:16957																	

OS MARPAT 140:16957

The invention claims a combination of an iNOS blocker and a phosphodiesterase (PDE) inhibitor or their pharmaceutically-acceptable salts or prodrugs for the prevention and treatment of respiratory diseases or conditions. The iNOS inhibitors include amino acids HN:CMeNHCH2CHRSCH2CH(NH2)CO2H (R = alkyl, cycloalkyl, hydroxyalkyl, or haloalkyl). Thus, 2S-amino-6-[(1-iminoethyl)amino]-N-(1H-tetrazol-5-yl)hexanamide dihydrochloride (NN) was prepared and shown to be a more potent i-NOS inhibitor (IC50 = 21.4 μM) than 2S-amino-6-[(1-iminoethyl)amino]hexanamide (NIL amide) or NIL dimethylamide. NN is a nicely crystalline product, in contrast to NIL which is a glass and thus

difficult to handle.

IT 404385-39-3P 404385-53-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amino acid derivs. in methods for treatment of respiratory diseases with selective iNOS inhibitor and PDE inhibitor)

RN 404385-39-3 CAPLUS

CN 5-Heptenoic acid, 2-amino-7-[(1-iminoethyl)amino]-2-methyl-, dihydrochloride, (2S,5Z)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

Me NH<sub>2</sub> 
$$Z$$
  $S$   $CO_2H$ 

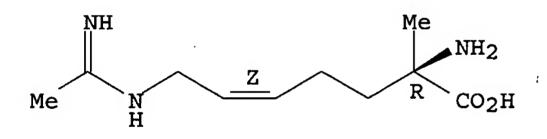
•2 HCl

RN 404385-53-1 CAPLUS

CN 5-Heptenoic acid, 2-amino-7-[(1-iminoethyl)amino]-2-methyl-, dihydrochloride, (2R,5Z)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



•2 HCl

IT 505098-89-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of amino acid derivs. in methods for treatment of respiratory diseases with selective iNOS inhibitor and PDE inhibitor)

RN 505098-89-5 CAPLUS

CN 5-Heptenoic acid, 2-amino-7-[(1-iminoethyl)amino]-2-methyl-, (2S,5Z)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 404385-91-7 CMF C10 H19 N3 O2

Me NH<sub>2</sub> 
$$Z$$
  $CO_2H$ 

CM 2

CRN 76-05-1 CMF C2 H F3 O2

```
ANSWER 6 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
L3
     2003:261685 CAPLUS
AN
DN
     138:287966
     Preparation of amino acid derivatives as selective nitric oxide synthase
TI
     inhibitors for ophthalmol. treatment
     Manning, Pamela T.; Connor, Jane R.
IN
     Pharmacia Corporation, USA
PA
     PCT Int. Appl., 177 pp.
SO
     CODEN: PIXXD2
DT
     Patent
     English
LA
FAN.CNT 1
     PATENT NO.
                         KIND
                                DATE
                                            APPLICATION NO.
                                                                    DATE
                                20030403
                                            WO 2002-US30213
                          A1
PI
     WO 2003026668
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
            CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
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PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG US 2001-961816 US 2003109522 20030612 A1 20010924 EP 2002-761803 **A1** 20040623 EP 1429777 20020924 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR 20020924 BR 2002012991 20040817 BR 2002-12991 A PRAI US 2001-961816 A 20010924 20020924 WO 2002-US30213 W

MARPAT 138:287966 os

GI

The acetamidino amino acid derivs. I [R5 = H, or OH; X = CR1:CR2CH2, CR1:CR2, CH2CR1:CR2, C.tplbond.C, CH2C.tplbond.C, C.tplbond.CCH2; R1, R2 = H, halo, alkyl, or haloalkyl; with the proviso that at list one of R1 or R2 contains halo; Z = H, (un) substituted alkyl, alkoxy, or halo; J = H, OH, alkoxy, NR3R4; R3 = H, alkyl, alkenyl, alkynyl; R4 = H, or (un) substituted heterocyclyl] and related 7-iminohexahydro-2-azepinyl derivs. were prepared as selective nitric oxide synthase inhibitors for ophthalmol. treatment. Thus, (2S,5E)-2-amino-6-fluoro-7-[(1-iminoethyl) amino]-5-heptenoic acid dihydrochloride prepared by a multistep procedure starting from L-glutamic acid inhibited the LPS-induced increase in plasma nitrite/nitrate levels with an observed ED50 value of <0.1 mg/kg demonstrating the ability to inhibit inducible nitric oxide synthase activity in vivo.

IT 404385-39-3P 404385-53-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amino acid derivs. as selective nitric oxide synthase inhibitors for ophthalmol. treatment)

RN 404385-39-3 CAPLUS

CN 5-Heptenoic acid, 2-amino-7-[(1-iminoethyl)amino]-2-methyl-, dihydrochloride, (2S,5Z)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

•2 HCl

RN 404385-53-1 CAPLUS

CN 5-Heptenoic acid, 2-amino-7-[(1-iminoethyl)amino]-2-methyl-, dihydrochloride, (2R,5Z)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

Me NH<sub>2</sub> 
$$R$$
  $CO_2H$ 

Ecomp.

### IT 505098-89-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of amino acid derivs. as selective nitric oxide synthase inhibitors for ophthalmol. treatment)

RN 505098-89-5 CAPLUS

CN 5-Heptenoic acid, 2-amino-7-[(1-iminoethyl)amino]-2-methyl-, (2S,5Z)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 404385-91-7 CMF C10 H19 N3 O2

Absolute stereochemistry.

Double bond geometry as shown.

Me NH<sub>2</sub>

$$Z$$
 $S$ 
 $CO_2H$ 

CM 2

CRN 76-05-1 CMF C2 H F3 O2

# RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L3 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
- AN 2003:261658 CAPLUS
- DN 138:287965
- TI Preparation of amino acid derivatives as selective nitric oxide synthase inhibitors for neuroprotective treatment
- IN Manning, Pamela T.; Connor, Jane R.
- PA Pharmacia Corporation, USA
- SO PCT Int. Appl., 185 pp.

CODEN: PIXXD2

- DT Patent
- LA English

FAN.CNT 1

	PA'	TENT	NO.			KIN	D	DATE		2	APPL	ICAT	ION 1	NO.		D	ATE		
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ΡI	WO 2003026638					A1 20030403			1	WO 2	002-		20020924						
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			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,	
			PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,	
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG 20030626 US 2003119826 US 2001-961521 20010924 **A**1 20040623 EP 1429752 **A1** EP 2002-761804 20020924 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR 20010924 PRAI US 2001-961521 Α WO 2002-US30214 W 20020924 OS MARPAT 138:287965 GI

The acetamidino amino acid derivs. I [R5 = H, or OH; X = CR1:CR2CH2, CR1:CR2, CH2CR1:CR2, C.tplbond.C, CH2C.tplbond.C, C.tplbond.CCH2; R1, R2 = H, halo, alkyl, or haloalkyl; with the proviso that at least one of R1 or R2 contains halo; Z = H, (un)substituted alkyl, alkoxy, or halo; J = H, OH, alkoxy, NR3R4; R3 = H, alkyl, alkenyl, alkynyl; R4 = H, or (un)substituted heterocyclyl] and related 7-iminohexahydro-2-azepinyl derivs. were prepared as selective nitric oxide synthase inhibitors for the prevention and treatment of neurodegenerative conditions. Thus, (2S,5E)-2-amino-6-fluoro-7-[(1-iminoethyl)amino]-5-heptenoic acid dihydrochloride prepared by a multistep procedure starting from L-glutamic acid inhibited the LPS-induced increase in plasma nitrite/nitrate levels with an observed ED50 value of <0.1 mg/kg demonstrating the ability to inhibit inducible nitric oxide synthase activity in vivo.

IT 404385-39-3P 404385-53-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amino acid derivs. as selective nitric oxide synthase inhibitors for treatment of neurodegenerative conditions)

RN 404385-39-3 CAPLUS

CN 5-Heptenoic acid, 2-amino-7-[(1-iminoethyl)amino]-2-methyl-, dihydrochloride, (2S,5Z)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

$$\begin{array}{c|c} & & & \\ &$$

## •2 HCl

RN 404385-53-1 CAPLUS

CN 5-Heptenoic acid, 2-amino-7-[(1-iminoethyl)amino]-2-methyl-, dihydrochloride, (2R,5Z)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

& Comp.

## •2 HCl

IT 505098-89-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of amino acid derivs. as selective nitric oxide synthase inhibitors for treatment of neurodegenerative conditions)

RN 505098-89-5 CAPLUS

CN 5-Heptenoic acid, 2-amino-7-[(1-iminoethyl)amino]-2-methyl-, (2S,5Z)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 404385-91-7 CMF C10 H19 N3 O2

Absolute stereochemistry.

Double bond geometry as shown.

& comp.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L3 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
- AN 2002:754159 CAPLUS
- DN 137:263297
- TI Preparation of 2,7-diamino-5-heptenoic acid derivatives for the treatment of cancer
- IN Manning, Pamela T.; Connor, Jane R.; Seibert, Karen; Rao, Chinthalapally

```
V.; Reddy, Bandaru S.
     Pharmacia Corporation, USA
PA
     PCT Int. Appl., 295 pp.
SO
     CODEN: PIXXD2
DT
     Patent
     English
LA
FAN. CNT 1
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                                DATE
                                             APPLICATION NO.
                                                                    DATE
     PATENT NO.
                                                                    20020321
                                20021003
                                             WO 2002-US8938
                          A2
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             GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA,
             GN, GQ, GW, ML, MR, NE, SN, TD, TG
     US 2003013702
                                                                    20010924
                          A1
                                20030116
                                            US 2001-961969
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                                                                    20020321
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                                             JP 2002-574911
                                                                    20020321
     JP 2005500259
                          T2
                                20050106
                          P
                                20010323
PRAI US 2001-278512P
     US 2001-961969
                          Α
                                20010924
                                20020321
     WO 2002-US8938
     MARPAT 137:263297
OS
     Agents and methods for chemoprevention and treatment of neoplasia are
AB
     described, the agents including a selective inhibitor of inducible nitric
     oxide synthase and a combination of a selective inhibitor of inducible
     nitric oxide synthase and an inhibitor of cyclooxygenase-2 in a
     pharmaceutical composition 2,7-Diamino-5-heptenoic acid derivs.
     R7N:CMeNHCH2CR1:CR2CH2CH2CH(NH2)C(O)J[R1, R2 = H, halo, alkyl, haloalkyl]
     (at least one of R1 or R2 contains halogen); R7 = H, OH; J = OH, alkoxy,
     NR3R4, where R3 = H, alkyl, alkenyl, alkynyl and R4 = H, (un)substituted
     heterocyclyl] or their pharmaceutically-acceptable salts are among the
     compds. claimed. Thus, (2S,5E)-2-amino-6-fluoro-7-[(1-iminoethyl)amino]-5-
     heptenoic acid dihydrochloride was prepared by a multistep procedure
     starting from L-glutamic acid and showed IC50 values 0.36, 68, 3.6, and
     0.1 μM in hiNOS, hecNOS, hncNOS, and human cartilage assays, resp.
IT
     404385-53-1P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (preparation of diaminoheptenoic acid derivs. for treatment of cancer)
     404385-53-1 CAPLUS
RN
     5-Heptenoic acid, 2-amino-7-[(1-iminoethyl)amino]-2-methyl-,
CN
     dihydrochloride, (2R,5Z) - (9CI) (CA INDEX NAME)
```

•2 HCl

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ANSWER 9 OF 9 CAPLUS
                           COPYRIGHT 2005 ACS on STN
L3
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AN 2002:220540 CAPLUS

136:263465 DN

Preparation of 2-amino-2-alkyl-5-heptenoic and -heptynoic acid derivatives TI useful as nitric oxide synthase inhibitors

Hansen, Donald, Jr.; Webber, Ronald Keith; Pitzele, Barnett S.; Sikorski, IN James; Massa, Mark A.; Hagen, Timothy J.; Grapperhaus, Margaret; Wang, Lijuan Jane; Bergmanis, Arija A.; Kramer, Steven W.; Hallinan, E. Ann

Pharmacia Corporation, USA PA

PCT Int. Appl., 216 pp. SO

CODEN: PIXXD2

Patent DT

English LA

FAN.CNT 1

	PAT	CENT 1	NO.			KIND DATE					APPL	ICAT	DATE					
		<del>-</del>					-											
PI	WO	2002	0225	62		A1		2002	0321		WO 2	001-	20010915					
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			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	KZ,	LC,	LK,	LR,
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PH,	PL,
			PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,
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			DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
			ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG	
	CA 2421504					AA		2002	0321		CA 2	001-		20	00109	915		
	AU	2001	0908	83		A5 20020326					AU 2	001-		20	0010	915		
	US	2002	1328	49		A1 20020919					US 2	001-	20010915					
	EP	1317	421			A1		20030611			EP 2	001-		20010915				
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			IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR						
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	JP	2004	5090	99		<b>T2</b>		2004	0325		JP 2	002-	5267	62		20	0010	915
	ZA	2004	0015	75		A		2004	0226		ZA 2	003-	1575			20	0030	226
														20030312				
PRAI		2000																
		2001																
os		RPAT																

AB

2-Amino-2-alkyl-5-heptenoic acids derivs. HN:CMeNHCH2CR3:CR2CH2CH2CR1(NH2) CO2H (R1 = alkyl, haloalkyl, alkoxyalkyl, haloalkoxyalkyl; R2, R3 = H, halo or any group given for R1) and corresponding heptynoic derivs. HN: CMeNHCH2C.tplbond.CCH2CH2CR1(NH2)CO2H were prepared as nitric oxide synthase (NOS) inhibitors. Thus, (2S/5E)-2-amino-2-methyl-6-fluoro-7-[(1iminoethyl)amino]-5-heptenoic acid dihydrochloride was prepared by a multistep procedure starting with the reaction of tri-Et 2-fluorophosphonoacetate with 3-[(tert-butyldimethylsilyl)oxy]propanal and showed IC50 = 0.4, 37, and 7.6  $\mu$ M for inhibition of hiNOS, hecNOS, and hncNOS, resp.

IT404385-39-3P 404385-53-1P 404385-91-7P

#### 404386-04-5P 404386-20-5P 404386-33-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminoalkylheptenoic and -heptynoic acid derivs. useful as nitric oxide synthase inhibitors)

RN 404385-39-3 CAPLUS

CN 5-Heptenoic acid, 2-amino-7-[(1-iminoethyl)amino]-2-methyl-, dihydrochloride, (2S,5Z)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

●2 HC1

RN 404385-53-1 CAPLUS

CN 5-Heptenoic acid, 2-amino-7-[(1-iminoethyl)amino]-2-methyl-, dihydrochloride, (2R,5Z)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

•2 HCl

RN 404385-91-7 CAPLUS

CN 5-Heptenoic acid, 2-amino-7-[(1-iminoethyl)amino]-2-methyl-, (2S,5Z)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

$$\begin{array}{c|c} NH & Me \\ NH_2 \\ \hline Me & NH_2 \\ \hline CO_2H \\ \end{array}$$

RN 404386-04-5 CAPLUS

CN 5-Heptenoic acid, 2-amino-7-[(1-iminoethyl)amino]-2-methyl-, (2S,5E)-(9CI) (CA INDEX NAME)

1 Comp

RN 404386-20-5 CAPLUS

CN 5-Heptenoic acid, 2-amino-7-[(1-iminoethyl)amino]-2-methyl-, (2R,5Z)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 404386-33-0 CAPLUS

CN 5-Heptenoic acid, 2-amino-7-[(1-iminoethyl)amino]-2-methyl-, (2R,5E)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

Me 
$$\stackrel{NH}{\underset{H}{\bigvee}}$$
  $\stackrel{E}{\underset{NH_2}{\bigvee}}$ 

IT 404385-44-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of aminoalkylheptenoic and -heptynoic acid derivs. useful as nitric oxide synthase inhibitors)

RN 404385-44-0 CAPLUS

CN 5-Heptenoic acid, 2-amino-7-[(1-iminoethyl)amino]-2-methyl-, monohydrochloride, (2S,5Z)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

Me NH<sub>2</sub>

$$Z$$
 $S$ 
 $CO_2H$ 

Lowy).

● HCl

ALL CITATIONS AVAILABLE IN THE RE FORMAT